What is claimed is:

1. A compound of formula I

wherein

10

15

20

5 X is CO or SO₂;

R₁ and R₂ are each independently H, C₁-C₁₀alkyl optionally substituted with one or more halogen, hydroxy, C₁-C₄alkoxy, CO₂R₈, CONR₉R₁₀, C₃-C₇cycloalkyl or optionally substituted phenyl groups, or

phenyl optionally substituted with one to three halogen, hydroxy, C₁-C₆haloalkyl, C₁-C₄alkoxy, CO₂R₁₁, NR₁₂R₁₃ or CN groups;

R₃ is H, F, Cl, Br or l;

R₄ and R₅ are each independently H, NH₂, CH₂CH₂OCH₂CH₂OCH₂CH₂NH₂ or a C₁-C₆alkyl group optionally substituted with one or two CN, OR₁₄, NR₁₅R₁₆, CO₂R₁₇ or C₃-C₇cycloalkyl group,

phenyl optionally substituted with one or two halogen, CN, OR_{14} , $NR_{15}R_{16}$, CO_2R_{17} , COR_{18} , an optionally substituted C_1 - C_6 alkyl or an optionally substituted C_2 - C_6 alkenyl group,

benzyl optionally substituted with one or two halogen, OR_{14} , COR_{18} , or a C_1 - C_3 alkyl group optionally substituted with one OR_{14} group, or pyridinyl optionally substituted with one or two halogen, OR_{14} , $NR_{15}R_{16}$ or CO_2R_{17} groups, or

R₄ and R₅ may be taken together with the atom to which they are attached to form an optionally substituted 5- to 7-membered ring optionally

	containing one double bond, a benzolused fing of an additional
	heteroatom selected from O, NR ₁₉ or S;
	R ₆ is phenyl optionally substituted with one to three halogen, NO ₂ , CN, hydroxy
	C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl,
5	phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃ or
	NR ₂₄ R ₂₅ groups,
	cycloheteroalkyl optionally substituted with one or more halogen, NO2,
	CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy
	phenyl, phenoxy, benzyl, benzyloxy, SOnR20, SO2NR21,R22, CO2R23
10	or NR ₂₄ R ₂₅ groups, or
	heteroaryl optionally substituted with one or more halogen, NO ₂ , CN,
	hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy,
	phenyl, phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃
	or NR ₂₄ R ₂₅ groups;
15	R_8 , R_{11} , R_{17} , R_{18} and R_{23} are each independently H or a C_1 - C_6 alkyl, C_3 - C_7
	cycloalkyl, C ₁ -C ₆ haloalkyl, phenyl, C ₅ -C ₇ cycloheteroalkyl or heteroaryl
	group each optionally substituted;
	R_9 , R_{10} , R_{12} , R_{13} , R_{15} , R_{16} , R_{21} , R_{22} , R_{24} and R_{25} are each independently H or a
	C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_1 - C_6 haloalkyl, phenyl, C_5 - C_7 cycloheteroalky
20	or heteroaryl group each optionally substituted or each of R_{9} and R_{10} or
	R_{12} and R_{13} or R_{15} and R_{16} or R_{21} and R_{22} or R_{24} and R_{25} may be taken
	together with the nitrogen atom to which they are attached to form a 5- to
	7-membered ring optionally containing another heteroatom selected from
	O, N or S;
25	n is 0 or an integer of 1 or 2;
	R ₁₄ is H, C ₁ -C ₃ alkyl or C ₁ -C ₃ haloalkyl;
	R ₁₉ is H or C ₁ -C ₃ alkyl; and
	R ₂₀ is a C ₁ -C ₆ alkyl, C ₃ -C ₇ cycloalkyl, C ₁ -C ₆ haloalkyl, phenyl, C ₅ -C ₇ cyclo-
	heteroalkyl or heteroaryl group each optionally substituted; or
30	the stereoisomers thereof or the pharmaceutically acceptable salts thereof.

2. The compound according to claim 1 wherein X is CO.

10

25

- 3. The compound according to claim 1 wherein R₁ is H.
- 4. The compound according to claim 1 wherein R_1 is H.The compound according to claim 1 wherein R_6 is a phenyl group optionally substituted with one or two CN, NO₂, halogen, CF₃, C₁-C₃alkoxy or CO₂R₂₃ groups.
 - 5. The compound according to claim 2 wherein R₂ is H or C₁-C₃alkyl.
- 6. The compound according to claim 2 wherein R_4 and R_5 are each independently H or a C_1 - C_3 alkyl, phenyl or benzyl group each optionally substituted with one or two hydroxy groups or R_4 and R_5 may be taken together with the atom to which they are attached to form a pyrrolidinyl or morpholinyl ring each optionally substituted with one carboxy group.
- 7. The compound according to claim 5 wherein R_6 is phenyl optionally substituted in the 3-position with CF_3 .
 - 8. The compound according to claim 7 wherein R₁ is H.
- 9. The compound according to claim 7 wherein R₁ is H.The compound according to claim 1 selected from the group consisting of:
 - N-(4-hydroxyphenyl)-3-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzamide;
 - N-(2,2-dimethoxyethyl)-*N*-methyl-3-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzamide;
- 6-methyl-2-[3-(1-pyrrolidinylcarbonyl)phenyl]-4-[3-(trifluoromethyl)phenyl]-1,6-dihydrodipyrazolo[3,4-b:3,4-d]pyridin-3(2H)-one;
 - (2R)-1-[3-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzoyl]-2-pyrrolidinecarboxylic acid;
 - N-(3,4-dihydroxybenzyl)-3-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzamide;
 - N-(2-hydroxypropyl)-3-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzamide;
 - 1-{2-chloro-5-[6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-b:3',4'-d]pyridin-2(1H)-yl]benzoyl}-D-proline;

```
2-(4-chloro-3-{[(2R)-2-(hydroxymethyl)pyrrolidin-1-yl]carbonyl}phenyl)-6-methyl-4-[3-
          (trifluoromethyl)phenyl]-1,6-dihydrodipyrazolo[3,4-b:3,4-d]pyridin-3(2H)-one;
     N-(4-hydroxyphenyl-4-{6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-
          dihydrodipyrazolo[3,4-b:3',4'-d]pyridin-2(1H)-yl}benzamide;
     N-(2-hydroxyphenyl)-4-{6-methyl-3-oxo-3-[3-(trfluoromethyl)phenyl]-3,6-
5
          dihydrodipyrazolo[3,4-b:3',4'-d]pyridin-2(1H)-yl}benzamide;
     6-methyl-2-[4-(4-morpholinylcarbonyl)phenyl]-4-[3-(trifluoromethyl)phenyl]-1,6-
          dihydrodipyrazolo[3,4-b:3,4-d]pyridin-3(2H)-one;
     N-[4-(2-hydroxyethyl)phenyl]-4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-
          dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzamide;
10
     N-[3-(1-hydroxyethyl)phenyl]-4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-
          dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzamide;
      N-[3-(hydroxymethyl)phenyl]-4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-
          dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzamide;
      N-(5-hydroxypentyl)-4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-
15
          dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzenesulfonamide;
      N-benzyl-4-[6-methyl-3-oxo-4-(3-trifluoromethyl-phenyl)-3,6-dihydro-1H-1,2,5,6,7-
          pentaaza-as-indacen-2-yl]-benzenesulfonamide;
      N-(2-hydroxyethyl)-4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-
           dihydrodipyrazolo[3,4-b:3,4-d]pyridin-2(1H)-yl)benzenesulfonamide;
20
      methyl ({[4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-
           b:3.4-d]pyridin-2(1H)-yl)phenyl]sulfonyl}amino)acetate;
      N-cyclopropylmethyl-4-[6-methyl-3-oxo-4-(3-trifluoromethyl-phenyl)-3,6-dihydro-1H-
           1,2,5,6,7-pentaaza-as-indacen-2-yl]-benzenesulfonamide;
      ({[4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydrodipyrazolo[3,4-
25
           b:3,4-d]pyridin-2(1H)-yl)phenyl]sulfonyl}amino)acetic acid;
      the stereoisomers thereof; and
      the pharmaceutically acceptable salts thereof.
```

10. A method for the treatment of an immune disorder related to or affected by the immune regulatory protein B7-1 which comprises providing a patient in need thereof an immunotherapeutically effective amount of a compound of formula

$$R_3$$
 $X-NR_4R_5$
 R_1
 R_1
 R_2
 R_6
 R_6
 R_1
 R_6

wherein

5

15

20

X is CO or SO₂;

 R_1 and R_2 are each independently H, C_1 - C_{10} alkyl optionally substituted with one or more halogen, hydroxy, C_1 - C_4 alkoxy, CO_2R_8 , $CONR_9R_{10}$, C_3 - C_7 cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{11} , $NR_{12}R_{13}$ or CN groups;

R₃ is H, F, Cl, Br or l;

10 R₄ and R₅ are each independently H, NH₂, CH₂CH₂OCH₂CH₂OCH₂CH₂NH₂ or a C₁-C₆alkyl group optionally substituted with one or two

CN, OR_{14} , $NR_{15}R_{16}$, CO_2R_{17} or C_3 - C_7 cycloalkyl group,

phenyl optionally substituted with one or two halogen, CN, OR_{14} , $NR_{15}R_{16}$, CO_2R_{17} , COR_{18} , an optionally substituted C_1 - C_6 alkyl or an optionally substituted C_2 - C_6 alkenyl group,

benzyl optionally substituted with one or two halogen, OR₁₄, COR₁₈, or a C₁-C₃alkyl group optionally substituted with one OR₁₄ group, or pyridinyl optionally substituted with one or two halogen, OR₁₄, NR₁₅R₁₆ or CO₂R₁₇ groups, or

R₄ and R₅ may be taken together with the atom to which they are attached to form an optionally substituted 5- to 7-membered ring optionally containing one double bond, a benzofused ring or an additional heteroatom selected from O, NR₁₉ or S;

	R_6 is phenyl optionally substituted with one to three halogen, NO_2 , CN , hydroxy,
	C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl,
	phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃ or
	NR ₂₄ R ₂₅ groups,
5	cycloheteroalkyl optionally substituted with one or more halogen, NO2,
	CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy,
	phenyl, phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ ,R ₂₂ , CO ₂ R ₂₃
	or NR ₂₄ R ₂₅ groups, or
	heteroaryl optionally substituted with one or more halogen, NO ₂ , CN,
10	hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy,
	phenyl, phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃
	or NR ₂₄ R ₂₅ groups;
	R_8 , R_{11} , R_{17} , R_{18} and R_{23} are each independently H or a C_1 - C_6 alkyl, C_3 - C_7
	cycloalkyl, C_1 - C_6 haloalkyl, phenyl, C_5 - C_7 cycloheteroalkyl or heteroaryl
15	group each optionally substituted;
	R_9 , R_{10} , R_{12} , R_{13} , R_{15} , R_{16} , R_{21} , R_{22} , R_{24} and R_{25} are each independently H or a
	C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_1 - C_6 haloalkyl, phenyl, C_5 - C_7 cycloheteroalkyl
	or heteroaryl group each optionally substituted or each of $R_{\scriptscriptstyle 9}$ and $R_{\scriptscriptstyle 10}$ or
	R_{12} and R_{13} or R_{15} and R_{16} or R_{21} and R_{22} or R_{24} and R_{25} may be taken
20	together with the nitrogen atom to which they are attached to form a 5- to
	7-membered ring optionally containing another heteroatom selected from
	O, N or S;
	n is 0 or an integer of 1 or 2;
	R ₁₄ is H, C ₁ -C ₃ alkyl or C ₁ -C ₃ haloalkyl;
25	R ₁₉ is H or C ₁ -C ₃ alkyl; and
	R_{20} is a C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_1 - C_6 haloalkyl, phenyl, C_5 - C_7 cyclo-
	heteroalkyl or heteroaryl group each optionally substituted; or
	the stereoisomers thereof or the pharmaceutically acceptable salts thereof.

30 11. The method according to claim 10 wherein said disorder is transplant rejection.

- 12. The method according to claim 10 wherein said disorder is an autoimmune disease.
- 13. The method according to claim 10 wherein said disorder is graft vs.5 host disease.
 - 14. The method according to claim 12 wherein said disease is multiple sclerosis or rheumatoid arthritis.
- 15. A pharmaceutical composition which comprises a pharmaceutically acceptable carrier and an effective amount of a compound of formula I

wherein

15 X is CO or SO_2 ;

 R_1 and R_2 are each independently H, C_1 - C_{10} alkyl optionally substituted with one or more halogen, hydroxy, C_1 - C_4 alkoxy, CO_2R_8 , $CONR_9R_{10}$, C_3 - C_7 cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{11} , $NR_{12}R_{13}$ or CN groups;

20

R₃ is H, F, Cl, Br or I;

R₄ and R₅ are each independently H, NH₂, CH₂CH₂OCH₂CH₂OCH₂CH₂NH₂ or a C₁-C₆alkyl group optionally substituted with one or two CN, OR₁₄, NR₁₅R₁₆, CO₂R₁₇ or C₃-C₇cycloalkyl group,

	phenyl optionally substituted with one or two halogen, CN, OR ₁₄ , NR ₁₅ R ₁₆ ,
	CO ₂ R ₁₇ , COR ₁₈ , an optionally substituted C ₁ -C ₆ alkyl or an optionally
	substituted C2-C6alkenyl group,
	benzyl optionally substituted with one or two halogen, OR14, COR18, or a
5	C ₁ -C ₃ alkyl group optionally substituted with one OR ₁₄ group, or
	pyridinyl optionally substituted with one or two halogen, OR ₁₄ , NR ₁₅ R ₁₆ or
	CO₂R₁7 groups, or
	R_4 and R_5 may be taken together with the atom to which they are attached
	to form an optionally substituted 5- to 7-membered ring optionally
10	containing one double bond, a benzofused ring or an additional
	heteroatom selected from O, NR ₁₉ or S;
	R ₆ is phenyl optionally substituted with one to three halogen, NO ₂ , CN, hydroxy,
	C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl,
	phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃ or
15	NR ₂₄ R ₂₅ groups,
	cycloheteroalkyl optionally substituted with one or more halogen, NO2,
	CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy,
	phenyl, phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ ,R ₂₂ , CO ₂ R ₂₃
	or $NR_{24}R_{25}$ groups, or
20	heteroaryl optionally substituted with one or more halogen, NO ₂ , CN,
	hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy,
	phenyl, phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃
	or NR ₂₄ R ₂₅ groups;
	R_8 , R_{11} , R_{17} , R_{18} and R_{23} are each independently H or a C_1 - C_6 alkyl, C_3 - C_7
25	cycloalkyl, C_1 - C_6 haloalkyl, phenyl, C_5 - C_7 cycloheteroalkyl or heteroaryl
	group each optionally substituted;
	R_9 , R_{10} , R_{12} , R_{13} , R_{15} , R_{16} , R_{21} , R_{22} , R_{24} and R_{25} are each independently H or a
	C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_1 - C_6 haloalkyl, phenyl, C_5 - C_7 cycloheteroalkyl
	or heteroaryl group each optionally substituted or each of $R_{\scriptscriptstyle 9}$ and $R_{\scriptscriptstyle 10}$ or
30	R_{12} and R_{13} or R_{15} and R_{16} or R_{21} and R_{22} or R_{24} and R_{25} may be taken
	together with the nitrogen atom to which they are attached to form a 5- to
	7-membered ring optionally containing another heteroatom selected from
	O, N or S;

10

n is 0 or an integer of 1 or 2;

R₁₄ is H, C₁-C₃alkyl or C₁-C₃haloalkyl;

R₁₉ is H or C₁-C₃alkyl; and

R₂₀ is a C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl, phenyl, C₅-C₇cycloheteroalkyl or heteroaryl group each optionally substituted; or the stereoisomers thereof or the pharmaceutically acceptable salts thereof.

- 16. The composition according to claim 15 having a formula I compound wherein X is CO.
- 17. The composition according to claim 16 having a formula I compound wherein R₁ is H.
- 18. The composition according to claim 17 having a formula I compound wherein R₂ is H or CH₃.
 - 19. The composition according to claim 18 having a formula I compound wherein R_6 is phenyl optionally substituted in the 3-position with CF_3 .
- 20. A process for the preparation of a compound of formula la

$$R_3$$
 CONR₄R₅
 R_1 HN N
 R_6 (Ia)

	wherein
	R_1 and R_2 are each independently H, $C_1\text{-}C_{10}$ alkyl optionally substituted with one
	or more halogen, hydroxy, C₁-C₄alkoxy, CO₂R8, CONR9R10, C3-
	C ₇ cycloalkyl or optionally substituted phenyl groups, or
5	phenyl optionally substituted with one to three halogen, hydroxy, C_1 -
	C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{11} , $NR_{12}R_{13}$ or CN groups;
	R ₃ is H, F, Cl, Br or I;
	R ₄ and R ₅ are each independently H, NH ₂ , CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₂ CH ₂ NH ₂ or a
	C ₁ -C ₆ alkyl group optionally substituted with one or two
10	CN, OR ₁₄ , NR ₁₅ R ₁₆ , CO ₂ R ₁₇ or C ₃ -C ₇ cycloalkyl group,
	phenyl optionally substituted with one or two halogen, CN, OR ₁₄ , NR ₁₅ R ₁₆ ,
	CO₂R₁7, COR₁8, an optionally substituted C₁-C6alkyl or an optionally
	substituted C ₂ -C ₆ alkenyl group,
	benzyl optionally substituted with one or two halogen, OR_{14} , COR_{18} , or a
15	C_1 - C_3 alkyl group optionally substituted with one OR_{14} group, or
	pyridinyl optionally substituted with one or two halogen, OR_{14} , $NR_{15}R_{16}$ or
	CO₂R₁7 groups, or
	R_4 and R_5 may be taken together with the atom to which they are attached
	to form an optionally substituted 5- to 7-membered ring optionally
20	containing one double bond, a benzofused ring or an additional
	heteroatom selected from O, NR ₁₉ or S;
	R ₆ is phenyl optionally substituted with one to three halogen, NO ₂ , CN, hydroxy,
	C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl,
	phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃ or
25	NR ₂₄ R ₂₅ groups,
	cycloheteroalkyl optionally substituted with one or more halogen, NO ₂ ,
	CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy,
	phenyl, phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ ,R ₂₂ , CO ₂ R ₂₃
	or NR ₂₄ R ₂₅ groups, or
30	heteroaryl optionally substituted with one or more halogen, NO ₂ , CN,
	hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy,
	phenyl, phenoxy, benzyl, benzyloxy, SO _n R ₂₀ , SO ₂ NR ₂₁ R ₂₂ , CO ₂ R ₂₃

or NR₂₄R₂₅ groups;

10

15

R₈, R₁₁, R₁₇, R₁₈ and R₂₃ are each independently H or a C₁-C₆alkyl, C₃-C₇ cycloalkyl, C₁-C₆haloalkyl, phenyl, C₅-C₇cycloheteroalkyl or heteroaryl group each optionally substituted;

R₉, R₁₀, R₁₂, R₁₃, R₁₅, R₁₆, R₂₁, R₂₂, R₂₄ and R₂₅ are each independently H or a C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl, phenyl, C₅-C₇cycloheteroalkyl or heteroaryl group each optionally substituted or each of R₉ and R₁₀ or R₁₂ and R₁₃ or R₁₅ and R₁₆ or R₂₁ and R₂₂ or R₂₄ and R₂₅ may be taken together with the nitrogen atom to which they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S;

n is 0 or an integer of 1 or 2;

R₁₄ is H, C₁-C₃alkyl or C₁-C₃haloalkyl;

R₁₉ is H or C₁-C₃alkyl; and

R₂₀ is a C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl, phenyl, C₅-C₇cycloheteroalkyl or heteroaryl group each optionally substituted which process comprises reacting a compound of formula II

$$R_3$$
 CO_2H
 R_1
 N
 N
 N
 R_6
(II)

wherein R₁, R₂, R₃ and R₆ are defined hereinabove with an amine, HNR₄R₅, in the presence of an activating agent and a solvent.

20